```
$%^STN; HighlightOn= ***; HighlightOff=*** ;
```

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAPEZ1617

PASSWORD:

TERMIN	VAL.	(ENT	ER 1,	, 2, 3, OR ?):2
* * *				* Welcome to STN International * * * * * * * * *
* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN	0.2	STN pricing information for 2008 now available
NEWS	3	JAN		CAS patent coverage enhanced to include exemplified
				prophetic substances
NEWS	4	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new
				custom IPC display formats
NEWS	5	JAN	28	MARPAT searching enhanced
NEWS	6	JAN	28	USGENE now provides USPTO sequence data within 3 days
				of publication
NEWS	7	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN	28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB	08	STN Express, Version 8.3, now available
NEWS	10	FEB	20	PCI now available as a replacement to DPCI
NEWS	11	FEB	25	IFIREF reloaded with enhancements
NEWS	12	FEB	25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current
				U.S. National Patent Classification
NEWS	14	MAR	31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom
				IPC display formats
NEWS	15	MAR	31	CAS REGISTRY enhanced with additional experimental
				spectra
NEWS	16	MAR	31	CA/CAplus and CASREACT patent number format for U.S.
				applications updated
NEWS		MAR		LPCI now available as a replacement to LDPCI
NEWS		MAR		EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS		APR		STN AnaVist, Version 1, to be discontinued
NEWS	20	APR	15	WPIDS, WPINDEX, and WPIX enhanced with new
				predefined hit display formats
NEWS		APR		EMBASE Controlled Term thesaurus enhanced
NEWS		APR		IMSRESEARCH reloaded with enhancements
NEWS	23	MAY	30	INPAFAMDB now available on STN for patent family
				searching
NEWS	24	MAY	30	DGENE, PCTGEN, and USGENE enhanced with new homology
				sequence search option
NEWS		JUN		EPFULL enhanced with 260,000 English abstracts
NEWS		JUN		KOREAPAT updated with 41,000 documents
NEWS	21	JUN	13	USPATFULL and USPAT2 updated with 11-character
MIDITO	0.0	77777	10	patent numbers for U.S. applications CAS REGISTRY includes selected substances from
NEWS	∠8	JUN	19	
				web-based collections

NEWS 29 JUN 25 CA/Caplus and USPAT databases updated with IPC reclassification data

NEWS 30 JUN 30 AEROSPACE enhanced with more than 1 million U.S.

patent records

NEWS 31 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations

NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist
Assistant and BLAST plug-in

NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availabil

NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 15:02:52 ON 21 JUL 2008

=> file rea

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:03:33 ON 21 JUL 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUL 2008 HIGHEST RN 1035004-20-6 DICTIONARY FILE UPDATES: 20 JUL 2008 HIGHEST RN 1035004-20-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

```
on property searching in REGISTRY, refer to:
http://www.cas.org/support/stngen/stndoc/properties.html
Uploading C:\Program Files\STNEXP\Queries\10550381.str
L1 STRUCTURE UPLOADED
=> d 11
L1 HAS NO ANSWERS
L1
               STR
/ Structure 1 in file .gra /
Structure attributes must be viewed using STN Express query preparation.
=> s 11 sss sam
SAMPLE SEARCH INITIATED 15:04:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE
100.0% PROCESSED
                     5 ITERATIONS
                                                              0 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                       BATCH
                             **COMPLETE**
PROJECTED ITERATIONS:
                               5 TO 234
PROJECTED ANSWERS:
                               O TO
                                         0
            0 SEA SSS SAM L1
=> s l1 sss full
FULL SEARCH INITIATED 15:04:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -
                                  86 TO ITERATE
100.0% PROCESSED
                     86 ITERATIONS
                                                               1 ANSWERS
SEARCH TIME: 00.00.01
L3
            1 SEA SSS FUL L1
=> d scan
   1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
    Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-
    quinoxalinyl)methyl]amino]methyl]-
MF C10 H11 N4 O7 P
/ Structure 2 in file .gra /
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
```

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:04:49 ON 21 JUL 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on SIN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 Jul 2008 VOL 149 ISS 4 FILE LAST UPDATED: 20 Jul 2008 (20080720/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s 13 L4

12 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:529982 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 148:487209

TITLE: Combination therapy using an allosteric adenosine Al

receptor enhancer with an opioid analgesic or AMPA/kainate antagonists for the treatment of pain

178.82

179.03

INVENTOR(S): Eisenach, James Conrad

PATENT ASSIGNEE(S): King Pharmaceuticals Research and Development, Inc.,

USA

SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
WO 2008051760
                                        WO 2007-US81598
                                                          20071017
                       A2 20080502
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
            CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
            GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
            KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
            MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
            PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
            GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
    US 20080108603
                       A1
                            20080508
                                         US 2007-872800
                                                                20071016
    US 20080108622
                             20080508
                                        US 2007-872859
                        A1
                                                                20071016
    US 20080113969
                       A1
                             20080515
                                         US 2007-872878
                                                                20071016
                                          US 2006-852815P
                                                            P 20061019
PRIORITY APPLN. INFO.:
                                          US 2007-872800
                                                            A 20071016
                                          US 2007-872859
                                                            A 20071016
                                          US 2007-872878
                                                            A 20071016
AB
    The invention provides synergistic combinations for the treatment of
    conditions assocd. with pain including acute pain, e.g., postoperative
    pain, chronic pain, inflammatory pain, neuropathic pain and pain assocd.
    with migraine. In particular, the invention relates to the use of an
    allosteric adenosine Al receptor enhancer in conjunction with opioid
    analgesics or 2-amino-3-hydroxy-5-methyl-4-isoxazole-propionic acid
    (AMPA)/kainate antagonists for alleviating pain, e.g., postoperative pain.
ΙT
      ***188696-80-2*** , Becampanel
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
    (Biological study); USES (Uses)
        (allosteric adenosine Al receptor enhancer combination with opioid
       analgesic or AMPA/kainate antagonist for treatment of pain)
RN
    188696-80-2 CAPLUS
CN
    Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-
    quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)
```

```
/ Structure 3 in file .gra /
```

=> d 1-12 ibib abs hitstr

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:529982 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 148:487209

TITLE: Combination therapy using an allosteric adenosine Al

receptor enhancer with an opioid analgesic or

AMPA/kainate antagonists for the treatment of pain INVENTOR(S): Eisenach, James Conrad

King Pharmaceuticals Research and Development, Inc.,

PATENT ASSIGNEE(S):

USA SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

		TENT I				KIN	D	DATE				LICAT							
		2008				A2		20080502											
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM	, DO,	DZ,	EC,	EE,	EG,	ES,	FI,	
			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU	, ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	
			KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR	, LS,	LT,	LU,	LY,	MA,	MD,	ME,	
			MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG	, NI,	NO,	NZ,	OM,	PG,	PH,	PL,	
			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK	, SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN	, ZA,	ZM,	ZW					
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
			IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	ΡL	, PT,	RO,	SE,	SI,	SK,	TR,	BF,	
			ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW	, ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	
			GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL	, SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
					ΚZ,	MD,		ТJ,											
	US	2008	0108	603		A1		2008	0508		US	2007-	8728	20071016					
		2008				A1		2008			US 2007-872859						20071016		
	US	2008	0113	969		A1		2008	0515		US	2007-	8728	78		2	0071	016	
PRIC	RITY	Y APP	LN.	INFO	. :						US	2006-	8528	15P		P 2	0061	019	
											US	2007-	8728	00		A 2	0071	016	
											US	2007-	8728	59		A 2	0071	016	
												2007-					0071		
AB												tions							
												te pa							
	pa:	in, cl	hron.	ic p	ain,	inf	lamm	ator	v na	in	nen	ropat	hic .	nain	and	pai	n as	boos	

conditions assocd. With pain including acute pain, e.g., postoperative pain, chronic pain, inflammatory pain, neuropathic pain and pain assocd. With migraine. In particular, the invention relates to the use of an allosteric adenosine Al receptor enhancer in conjunction with opioid analgesics or 2-amino-3-hydroxy-5-methyl-4-isoxazole-propionic acid (AMPA)/kainate antagonists for alleviating pain, e.g., postoperative pain.

188696-80-2 Becampanel

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(allosteric adenosine Al receptor enhancer combination with opioid analgesic or AMPA/kainate antagonist for treatment of pain) 188696-80-2 CAPLUS

CN Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

```
/ Structure 4 in file .gra /
```

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:908914 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 147:355935 TITLE: Epilepsy

TITLE: Epilepsy
AUTHOR(S): Knutsen, L. J. S.; Williams, M.

CORPORATE SOURCE: Worldwide Discovery Research, Cephalon Inc., West

Chester, PA, USA

SOURCE: Comprehensive Medicinal Chemistry II (2006), Volume 6,

279-296. Editor(s): Taylor, John B.; Triggle, David

J. Elsevier Ltd.: Oxford, UK.

CODEN: 69JOHZ: ISBN: 978-0-08-044513-7

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

A review on recent developments in diagnosis and treatment of epilepsy. The disease state and disease basis are discussed, along with exptl. disease models, clin. trial issues, current treatments, and unmet medical needs. Emerging research areas are also addressed, including adenosine producing stem cell therapy, novel GABA transporter inhibitors, and .omega. fatty acids.

TТ ***188696-80-2*** , Becampanel

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(becampanel has been used for treatment of seizures in patient with epilepsy)

RN 188696-80-2 CAPLUS

Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-CN quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

/ Structure 5 in file .gra /

CORPORATE SOURCE:

SOURCE:

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1111722 CAPLUS <<LOGINID::20080721>>

146.74520 DOCUMENT NUMBER:

A microplate solid scintillation counter as a TITLE:

radioactivity detector for high performance liquid chromatography in drug metabolism: Validation and

applications

AUTHOR(S): Bruin, Gerard J.; Waldmeier, Felix; Boernsen, K. Olaf;

Pfaar, Ulrike; Gross, Gerhard; Zollinger, Markus Drug Metabolism & Pharmacokinetics, Novartis Pharma

AG, Basel, CH-4002, Switz. 184-194

Journal of Chromatography, A (2006), 1133(1-2),

CODEN: JCRAEY: ISSN: 0021-9673

Elsevier B.V.

PUBLISHER: DOCUMENT TYPE: Journal

LANGUAGE: English

Sensitive radioactivity detection following high performance lig. chromatog. (HPLC) sepn. remains a challenge in many drug metab. studies with radiolabeled compds. In this work, solid scintillation counting (SSC) after fraction collection into 96-well plates was evaluated as an off-line radioactivity detection method, in comparison with conventional liq. scintillation counting (LSC). The impact of counting time and biol. matrix on the quantification of radiolabeled metabolites and parent drug in samples from animal and human absorption, distribution, metab. and excretion (ADME) studies was investigated. Three different approaches were used to test whether reliable quantification by off-line SSC detection, which requires an approx. const. counting yield during the entire chromatog, run, can be realized: (i) the measurement of radioactivity-spiked biol. blank samples without HPLC sepn. as an extreme case of biol. background, (ii) the measurement of radioactivity-spiked HPLC fractions of biol, blank samples and (iii) the comparison of radio chromatograms obtained by off-line SSC and LSC of real samples from ADME studies with radiolabeled compds. Situations in which variations in SSC vield during an HPLC run are likely to lead to significant errors in

quantitation were identified and are discussed. However, examples from a no. of animal or human ADME studies showed that in the majority of cases off-line SSC provides very similar quant. data, compared with the ref. method of off-line LSC radioactivity detection. Approaches for validation of the off-line SSC approach in crit. cases are discussed. The main advantages of off-line SSC, compared with off-line LSC, are lower detection limits and a substantially higher throughput. Several applications of off-line SSC detection in ADME studies are shown.

ΙT ***188696-80-2*** , Amp397 RL: ANT (Analyte); ANST (Analytical study)

> (microplate solid scintillation counter combined with HPLC for high throughput drug metab. screening)

RN 188696-80-2 CAPLUS

CN

Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

/ Structure 6 in file .gra /

PATENT ASSIGNEE(S):

PATENT INFORMATION:

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:395110 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 142:435803

Combinations comprising AMPA receptor antagonists for TITLE:

the treatment of neuropathic pain

INVENTOR(S): Karolchyk, Mary Ann; Lingenhoehl, Kurt; Ofner, Silvio; Fox, Alyson

Novartis Ag, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

> PATENT NO. KIND DATE APPLICATION NO. DATE ----______ A1 20050506 WO 2004-EP11870 20041020 WO 2005039593 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO .: GB 2003-24542 A 20031021 OTHER SOURCE(S): MARPAT 142:435803

The present invention relates to combinations suitable for the treatment of pain, esp. neuropathic pain. The combinations comprise at least 1 AMPA receptor antagonist and at least one combination partner selected from the group consisting of cyclooxygenase inhibitors, vanilloid receptor

antagonists, opioids, tricyclic antidepressants, anticonvulsants, cathepsin S inhibitors and GABAB receptor agonists. {[(7-Nitro-2,3-dioxo-1,2,3,4-tetrahydroquinoxalin-5-ylmethyl)amino]methyl}phosphonic acid may be administered to a patient in a total daily dosage of 60-400 mg.

ΙT ***188696-80-2***

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations comprising AMPA receptor antagonists for the treatment of neuropathic pain)

188696-80-2 CAPLUS RN

CN Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

/ Structure 7 in file .gra /

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:995976 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 141:406122

TITLE: Use of substituted aminoalkanephosphonic acids in the

treatment of multiple sclerosis and related

demyelinating diseases

INVENTOR(S): Foster, Carolyn Ann; Hiestand, Peter C.; Lingenhoehl,

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

PCT Int. Appl., 11 pp. SOURCE:

CODEN: PIXXD2 Pat.ent.

DOCUMENT TYPE: LANGUAGE . English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PAT	TENT	NO.			KIND DATE					APPL	ICAT	DATE							
WO	2004				A1		2004	1118		WO 2	004-	20040511							
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,		
		SN,	TD,	TG															
RITY	APP	LN.	INFO	. :				GB 2003-10868								A 20030512			

PRIORITY APPLN. INFO .:

OTHER SOURCE(S): MARPAT 141:406122

The present invention relates to a new pharmaceutical use of substituted aminoalkanephosphonic acids, esp. multiple sclerosis and related demvelinating diseases.

188696-80-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aminoalkanephosphonic acids for treatment of multiple sclerosis and related demyelinating diseases)

RN 188696-80-2 CAPLUS

CN Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-guinoxalinvl)methvl]amino]methvl]- (CA INDEX NAME)

/ Structure 8 in file .gra /

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:857406 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 141:325767

TITLE: Combinations of antiepileptic drugs for the treatment

of neurological disorders

INVENTOR(S): Aitken, David; Lingenhohl, Kurt; Schmutz, Markus

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 22 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004087161 A1 20041014 WO 2004-EP3518 20040402 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG 20041014 AU 2004-226825 AU 2004226825 A1 20040402 AU 2004226825 B2 20070816 20040402 CA 2521274 20041014 CA 2004-2521274 20060201 EP 2004-725366 A1 EP 1620103 A1 20040402 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK BR 2004009170 A 20060411 BR 2004-9170 20040402 CN 1767832 A 20060503 CN 2004-80009218 20040402 JP 2006522062 20060928 JP 2006-504970 T 20040402 US 20060194766 A1 20060831 IN 2005CN02527 A 20070831 US 2005-550381 20050921 IN 2005-CN2527 20051004 A 20030404 PRIORITY APPLN. INFO.: GB 2003-7860 WO 2004-EP3518 W 20040402

OTHER SOURCE(S): MARPAT 141:325767

AB The invention discloses combinations comprising two antiepileptics, pharmaceutical compns. comprising such combinations, and the use of such combinations for the preon. of a medicament for the treatment of neurol.

```
disorders, esp. epilepsy.
ΙT
      ***188696-80-2***
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (antiepileptic drug combination for treatment of neurol, disorder)
RN
    188696-80-2 CAPLUS
CN
    Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-
    quinoxalinvl)methvl|amino|methvl|- (CA INDEX NAME)
/ Structure 9 in file .gra /
REFERENCE COUNT:
                         21
                               THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                        2003:892622 CAPLUS <<LOGINID::20080721>>
DOCUMENT NUMBER:
                         139:358798
TITLE:
                        New uses of substituted aminoalkanephosphonic acids
INVENTOR(S):
                        Lingenhoehl, Kurt; Auberson, Yves; Fox, Alyson; Neijt,
                        Hans C.; Kalkman, Hans O.
PATENT ASSIGNEE(S):
                        Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE:
                         PCT Int. Appl., 13 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                        KIND DATE APPLICATION NO. DATE
     PATENT NO.
    WO 2003092701
                         A2 20031113 WO 2003-EP4466
                                                                    20030429
    WO 2003092701
                         A3 20040408
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU,
             LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC,
             SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW
         RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
             SI, SK, TR
    CA 2482524
                          A1
                                20031113 CA 2003-2482524
                                                                    20030429
    AU 2003232224
                                          AU 2003-232224
EP 2003-747434
                                                                    20030429
                         A1
                                20031117
     EP 1501518
                          A2
                              20050202
                                                                   20030429
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003009611 A
                               20050209 BR 2003-9611
                                                                    20030429
     CN 1649599
                         A
                               20050803 CN 2003-809597
    JP 2005527600
                        T
                               20050915 JP 2004-500885
                                                                   20030429
    JP 2005527600 1 2005027600 2 2 200407642 A 20060628 ZA 2004-7642 MX 2004PA10816 A 20050307 MX 2004-PA10816 US 2006052382 A1 20061228 US 2004-512923 NO 2004005089 A 20041123 NO 2004-5089 C 2002-9886
                                                                    20040922
                                                                    20041029
                                                                   20041029
                                                                    20041123
```

PRIORITY APPLN. INFO.:

A 20020430 A 20020430

GB 2002-9886

GB 2002-9887 A 20020430 GB 2002-9889 A 20020430 GB 2002-10371 A 20020507

GB 2002-12760 A 20020531 WO 2003-EP4466 W 20030429 WO 2003-US4466 W 20030429

OTHER SOURCE(S): MARPAT 139:358798

AB The present invention relates the use of substituted aminoalkanephosphonic acids in treating neuropathic pain, affective and attention disorders, schizophrenia, tinnitus, myopia and other ocular disorders.

IT ***188696-80-2***

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(substituted aminoalkanephosphonic acids for treatment of mental disorders and nervous system disorders)

RN 188696-80-2 CAPLUS

CN Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

/ Structure 10 in file .gra /

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:512328 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 138:147543

TITLE: Genotoxicity assessment of the antiepileptic drug
AMP397, an Ames-positive aromatic nitro compound
AUTHOR(S): Suter, Willi; Hartmann, Andreas; Poetter, Franziska;
Sagelsdorff, Peter; Hoffmann, Peter; Martus, Hans-Jorg

CORPORATE SOURCE: Toxicology/Pathology, Novartis Pharma AG, Basel, 4002,

Switz.

SOURCE: Mutation Research, Genetic Toxicology and

Environmental Mutagenesis (2002), 518(2), 181-194

CODEN: MRGMFI; ISSN: 1383-5718

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal

LANGUAGE: English

AMP397 is a novel antiepileptic agent and the first competitive AMPA antagonist with high receptor affinity, good in vivo potency, and oral activity. AMP397 has a structural alert (arom. nitro group) and was mutagenic in Salmonella typhimurium strains TA97a, TA98 and TA100 without S9, but neg. in the nitroreductase-deficient strains TA98NR and TA100NR. The amino deriv. of AMP397 was neg. in wild-type strains TA98 and TA100. AMP397 was neg. in a mouse lymphoma tk assay, which included a 24 h treatment without S9. A weak micronucleus induction in vitro was found at the highest concns. tested in V79 cells with S9. AMP397 was neg. in the following in vivo studies, which included the max. tolerated doses of 320 mg/kg in mice and 2000 mg/kg in rats: Muta Mouse assay in colon and liver (5.times.320 mg/kg) at three sampling times (3, 7 and 31 days after the last administration); DNA binding study in the liver of mice and rats after a single treatment with [14C]-AMP397; comet assay (1.times.2000 mg/kg) in jejunum and liver of rats, sampling times 3 and 24 h after administration; micronucleus test (2.times.320 mg/kg) in the bone marrow of mice, sampling 24 h after the second administration. Based on these results, it was concluded that AMP397 has no genotoxic potential in vivo. In particular, no genotoxic metabolite is formed in mammalian cells, and, if formed by intestinal bacteria, is unable to exert any genotoxic activity in the adjacent intestinal tissue. These data were considered to provide sufficient safety to initiate clin. development of the compd.

```
тт
      ***188696-80-2*** , AMP 397
     RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
        (genotoxicity assessment of antiepileptic drug AMP397, an Ames-pos.
        arom. nitro compd.)
RN
     188696-80-2 CAPLUS
     Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-
CN
     quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)
/ Structure 11 in file .gra /
                               THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                         52
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         2002:222645 CAPLUS << LOGINID::20080721>>
DOCUMENT NUMBER:
                         137:257504
TITLE:
                         N-phosphonoalkyl-5-aminomethylquinoxaline-2,3-diones:
                         In vivo active AMPA and NMDA (glycine) antagonists
AUTHOR(S):
                         Auberson, Yves P.; Acklin, Pierre; Bischoff, Serge;
                         Moretti, Robert; Ofner, Silvio; Schmutz, Markus;
                         Veenstra, Siem J.
                         Novartis Pharma AG, Basel, 4002, Switz.
CORPORATE SOURCE:
SOURCE:
                         Biomedical and Health Research (2001), 45(Excitatory
                         Amino Acids: Ten Years Later), 37-42
                        CODEN: BIHREN; ISSN: 0929-6743
PUBLISHER:
                        IOS Press
DOCUMENT TYPE:
                        Journal
LANGUAGE:
                        English
OTHER SOURCE(S):
                         CASREACT 137:257504
    N-Substituted 5-aminomethylquinoxalinediones contq. carboxy or phosphonic
     acids yield potent and selective AMPA and/or NMDA (glycine-binding site)
     antagonists. Phosphonic acid derivs. are particularly water-sol. and
     display potent anticonvulsant effects in the electroshock-induced
     convulsion assay in mice.
      ***188696-80-2P***
ΙT
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of N-phosphonoalky1-5-aminomethylquinoxaline-2,3-diones and
        activity as in vivo active AMPA and NMDA (glycine) antagonists and
        anticonvulsants)
    188696-80-2 CAPLUS
RN
     Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-
     quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)
/ Structure 12 in file .gra /
REFERENCE COUNT:
                        24
                               THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                        1999:118530 CAPLUS <<LOGINID::20080721>>
DOCUMENT NUMBER:
                         130:306031
```

N-Phosphonoalky1-5-aminomethylquinoxaline-2,3-diones: in vivo active AMPA and NMDA(glycine) antagonists

TITLE:

AUTHOR(S): Auberson, Yves P.; Acklin, Pierre; Bischoff, Serge;

Moretti, Robert; Ofner, Silvio; Schmutz, Markus;

Veenstra, Siem J.

CORPORATE SOURCE: Novartis Pharma AG, Basel, 4002, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(2),

249-254

CODEN: BMCLE8: ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB N-Substituted 5-aminomethylquinoxalinediones contq. carboxy or phosphonic acids vield potent and selective AMPA and/or NMDA (glycine-binding site) antagonists. Phosphonic acid derivs. are particularly water-sol. and display potent anticonvulsant effects in the electroshock-induced

convulsion assay in mice. ***188696-80-2P*** ΙT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phosphonoalkyl aminomethylquinoxalinediones as in vivo active AMPA and NMDA(glycine) antagonists, and prepn., receptor binding, and anticonvulsant activity)

188696-80-2 CAPLUS RN

Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-CN

quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

/ Structure 13 in file .gra /

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:268508 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 128:321753

ORIGINAL REFERENCE NO.: 128:63785a,63788a

TITLE: Substituted aminoalkane phosphonic acids

INVENTOR(S): Acklin, Pierre; Allgeier, Hans; Auberson, Yves; Ofner,

Silvio; Veenstra, Siem Jacob

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Acklin, Pierre; Allgeier,

Hans; Auberson, Yves; Ofner, Silvio; Veenstra, Siem

Jacob PCT Int. Appl., 64 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----WO 9817672 A1 19980430 WO 1997-EP5843 19971022 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

```
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
                          GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
                          GN, ML, MR, NE, SN, TD, TG
                                                   A1 19980430
          CA 2269807
                                                                                    CA 1997-2269807
                                                                                                                                     19971022
         CA 2269807
                                                   С
                                                              20070410
         AU 9851885
                                                 A
                                                              19980515 AU 1998-51885
                                                                                                                                      19971022
         EP 934326
EP 934326
                                                  A1 19990811 EP 1997-946755
                                                                                                                                       19971022
                                                  B1 20060503
                 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                          IE, SI, FI, RO
         CN 1234037 A
                                                            19991103 CN 1997-199101
20021009
                                                                                                                                      19971022
       CN 1092202 B 20021009 BR 9713489 A 20000229 BR 1997-13489 19971022
JP 2001502681 T 20010227 JP 1998-518970 19971022
JP 3908790 B2 20070425
HU 200000383 A2 20010528 HU 2000-383 19971022
JL 129394 A 20020523 IL 1997-129394 19971022
IL 129394 A 20020523 IL 1997-129394 19971022
IL 129394 A 20020523 IL 1997-129394 19971022
SK 282548 B6 20021008 SK 1999-523 19971022
FP 393326 T 20060615 AT 1997-946755 19971022
PT 934326 B1 20060615 AT 1997-946755 19971022
PT 924368 B1 20060619 PT 1997-322775 19971022
ES 2264171 T3 20061216 ES 1997-946755 19991022
ES 2000052747 A 20000825 KR 1999-703547 19990423
EKT 2000052747 A 20000825 KR 1999-703647 19990423
EKT SOURCE (S): MARPAT 128:321753
         CN 1092202
                                                 В
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 128:321753
```

/ Structure 14 in file .gra /

GI

AB The prepn. of title compds. I (R1 = OH, aliph., araliph. or arom. radical; X = bivalent aliph., cycloaliph., cycloaliph.-aliph., araliph., heteroarylaliph. or arom. radical; R2 = H or an aliph. or araliph. radical; alk = lower alkylidene; R3, R4, R5 = independently represent H, lower alkyl, halogen, trifluoromethyl, cyano or nitro) is described. I and their salts may be used for treating pathol. conditions which respond to the blocking of exciter amino acid receptors, and for producing pharmaceutical compns.

IT ***188696-80-2P***

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted aminoalkane phosphonic acids as amino acid receptors)

RN 188696-80-2 CAPLUS

CN Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

```
/ Structure 15 in file .gra /
```

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:278950 CAPLUS <<LOGINID::20080721>>

DOCUMENT NUMBER: 126:251169

ORIGINAL REFERENCE NO.: 126:48567a,48570a

TITLE: Preparation of novel 2,3-dioxo-1,2,3,4-tetrahydro-

quinoxalinyl derivatives as AMPA, kainate and/or glycine binding sites of the NMDA receptor ligands INVENTOR(S): Acklin, Pierre; Allgeier, Hans; Auberson, Yves; Biollaz, Michel, Moretti, Robert; Ofner, Silvio;

Veenstra, Siem Jacob

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Acklin, Pierre; Allgeier, Hans;

Auberson, Yves; Biollaz, Michel; Moretti, Robert;

Ofner, Silvio; Veenstra, Siem Jacob

SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

					KIND DATE																
									WO 1996-EP3644												
110		AL,																			
			LR,																		
			UA,																		
	RW	: KE,																			
			IT.																		
			NE.						,		,	,	,	,	,	,		,			
CA	CA 2227851										19	996-	2227	851		19960819					
AU	966	8742			A		AU	19	996-6	5874	2		19960819								
	AU 705871																				
EP	EP 853617				A1		1998	0722		ΕP	19	996-9	9292	75		19960819					
EP	EP 853617				B1																
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GE	٦,	IT,	LI,	LU,	NL,	SE,	PT,	IE,			
		SI,	FI																		
CN	119	3968			A	CN 1996-196581							19960819								
HU	980	1676			A2		1999	0329	CN 1996-196581 HU 1998-1676							19960819					
HU	980	1676			A3		1999	0428													
JP	115	11444 9711			T		1999	1005	JP 1997-509801							19960819					
JP	315	9711			B2		2001	0423													
IL	122	987			A		2001	8080		$_{\rm IL}$	19	996-:	19960819								
AT	260	902 617			T									19960819							
PT	853	617			T									19960819							
		7324					2004										9960				
		637					2005	0930		PL	19	996-3		19960819							
TW	438	782			B 20010607					TW 1996-85110230						19960822					
IN	199	6MA01	489		A		2007	1026		IN	19	996-1	4A14	89		1	9960	823			
ZA 9607322					A 19970228					TW 1996-85110230 IN 1996-MA1489 ZA 1996-7322 NO 1998-814						19960829					
IN 1996MA01489 ZA 9607322 NO 9800814 NO 310236					A		1998	0421		ИО	19	998-8	314			1	9980	226			
NO	310	236			B1		2001	0611													
US	608	0743			A 20000627					US 1998-29525											
										HK 1998-111287 CH 1995-2479 CH 1995-2734											
ORITY	AP.	PLN.	INFO	.:						CH	19	995-2	2479			A 1	9950	831			
										CH	19	995-2	2734			A 1	9950	927			

A 19950928 CH 1995-2747 CH 1996-1213 A 19960510 CH 1996-1630 A 19960628 CH 1996-1214 A 19960510 WO 1996-EP3644 W 19960819

GΙ

OTHER SOURCE(S): MARPAT 126:251169

/ Structure 16 in file .gra /

AB The title compds. [I; one of R1 and R2 = R5 and the other = CH(R6)-alk-R7, alk-CH(R6)R7, etc. (wherein R5 = R3, R4; R6 = unsubstituted or lower alkylated and/or lower alkanoylated amino; R7 = H, an aliph., cycloaliph., heterocycloaliph. radical, etc.); R3, R4 = H, lower alkyl, halo, etc.], useful in the prepn. of a medicament for the treatment of pathol. conditions that are responsive to blocking of AMPA, kainate and/or glycine binding sites of the NMDA receptor, were prepd. and formulated. Thus, reaction of 7-bromo-5-bromomethyl-2,3-dimethoxyquinoxaline with glycine tert-Bu ester hydrochloride in the presence of Et3N in MeCN followed by deesterification afforded the title compd. II.HBr. Compds. I are effective at 10-500 mg/day when administered orally to 75 kg patient. ***188696-80-2P***

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel 2,3-dioxo-1,2,3,4-tetrahydro-quinoxalinyl derivs. as AMPA, kainate and/or glycine binding sites of the NMDA receptor ligands)

188696-80-2 CAPLUS

Phosphonic acid, P-[[[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-CN quinoxalinyl)methyl]amino]methyl]- (CA INDEX NAME)

/ Structure 17 in file .gra /

=> logoff

ALL L# OUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS SINCE FILE TOTAL. ENTRY SESSION FULL ESTIMATED COST 71.33 250.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -10.40-10.40

STN INTERNATIONAL LOGOFF AT 15:05:35 ON 21 JUL 2008